

=> d his

(FILE 'HOME' ENTERED AT 15:38:25 ON 03 NOV 1999)

FILE 'REGISTRY' ENTERED AT 15:38:28 ON 03 NOV 1999

L1 STR
L2 50 S L1

FILE 'CASREACT' ENTERED AT 15:40:01 ON 03 NOV 1999

L3 38 S L1
L4 STR L1
L5 1 S L4
L6 STR L4
L7 2 S L6
L8 1851 S RESIN
L9 255 S SOLID SUPPORT
L10 847 S SOLID (2A) PHASE (2A) SYNTHES?
L11 2304 S L8-L10
L12 0 S L6 SSS SAM SUB=L11
L13 STR L6
L14 6 S L13
L15 150 S L13 FUL
L16 2 S L15 AND L11

I searched Casreact
and combined the answer set with
resin, solid support, etc.

=> d que 115

L13		STR	
PRO	5	RRT	BRT
	G2	NH2 6	G2
G1-NH-C			C
1 2 3			7

VAR G1=NH/O

VAR G2=O/S/N

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 7

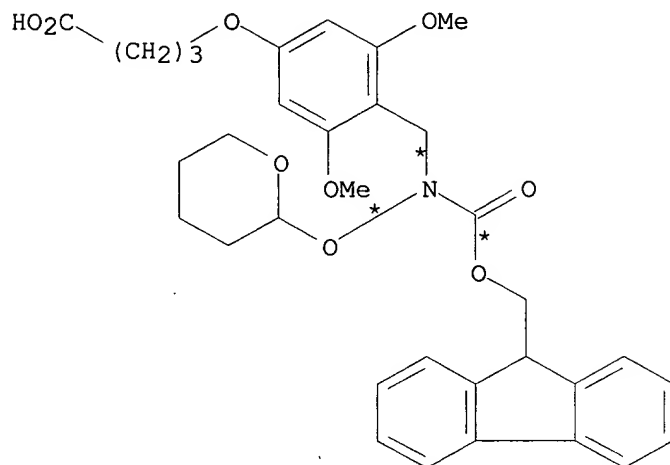
STEREO ATTRIBUTES: NONE

L15 150 SEA FILE=CASREACT SSS FUL L13 (558 REACTIONS)

=> d fhit bib abs

L16 ANSWER 1 OF 2 CASREACT COPYRIGHT 1999 ACS

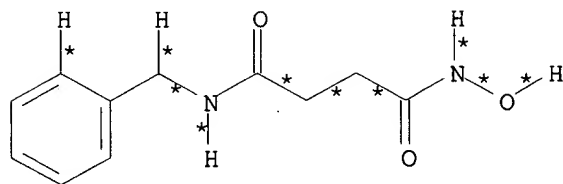
RX(7) OF 8 2 X ==> AD



X
resin-bound

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

(7)
→



AD

RX(7) RCT X 197304-25-9D

STAGE(1)

RGT Y 110-89-4 Piperidine

SOL 68-12-2 DMF

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308-4488

STAGE(2)

RGT AE 108-30-5 Succinic anhydride
SOL 68-12-2 DMF

STAGE(3)

RGT AF 100-46-9 PhCH₂NH₂, AG 4584-49-0 1-Propanamine,
2-chloro-N,N-dimethyl-, hydrochloride
SOL 75-09-2 CH₂Cl₂

STAGE(4)

RGT AA 76-05-1 F₃CCO₂H, AB 7732-18-5 Water
SOL 75-09-2 CH₂Cl₂

STAGE(5)

RGT AB 7732-18-5 Water, AA 76-05-1 F₃CCO₂H
SOL 75-09-2 CH₂Cl₂

PRO AD 56439-40-8

AN 129:4503 CASREACT

TI **Solid-phase synthesis** of hydroxylamine
compounds, derivatives, and combinatorial libraries thereof

IN Patel, Dinesh; Nhu, Khehyong

PA Versicor, Inc., USA; Patel, Dinesh; Nhu, Khehyong

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9818754	A1	19980507	WO 1997-US19481	19971027
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			

	AU 9854263	A1	19980522	AU 1998-54263	19971027
PRAI	US 1996-29788		19961028		
	US 1997-47468		19970523		
	WO 1997-US19481		19971027		

OS MARPAT 129:4503

AB A library comprising a plurality of hydroxylamine and/or hydroxylamine derivs. wherein the library is prepd. by prepg. a **solid support**-bound alkoxyamine, derivatizing the supported alkoxyamine, cleaving the derivatized alkoxyamine from the support, and removing the alkoxy protecting group, is claimed. Thus, 4-hydroxymethylphenoxy **resin** was brominated with PPh₃.Br₂ in CH₂Cl₂ to give 99% bromomethylphenoxy **resin**. This was treated with PhCH₂ONH₂ and K₂CO₃ in EtOAc/H₂O to give benzyloxyamine **resin**, which was treated with PhCH₂CH₂COCl and 2,6-di-tert-butyl-4-methylpyridine in DMF to give N-acylated material. The latter was treated with CF₃CO₂H to afford PhCH₂CH₂CONHOCH₂Ph, which was hydrogenated in MeOH over Pd/C to afford PhCH₂CH₂CONHOH.

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308-4488

McCarthy

09/122576

Page 5

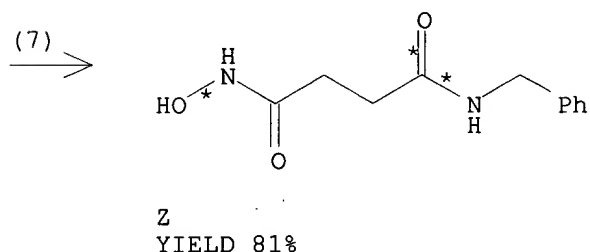
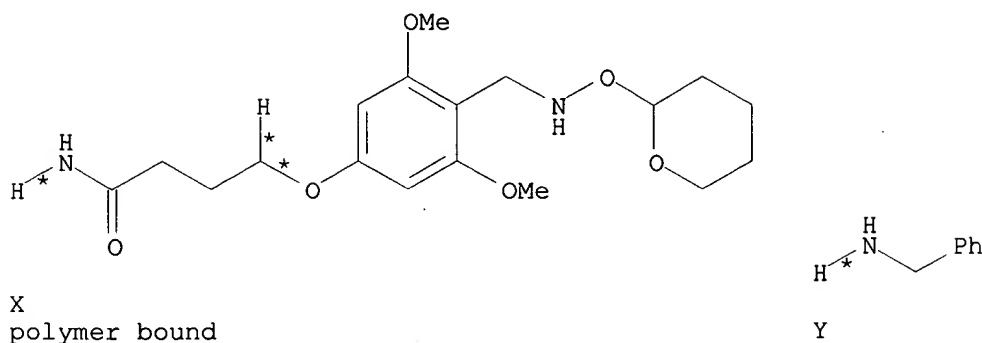
Searched by John Dantzman

308-4488

=> d fhit bib abs 2

L16 ANSWER 2 OF 2 CASREACT COPYRIGHT 1999 ACS

RX(7) OF 11 X + Y ==> Z



RX(7) RCT X 197304-27-1D

STAGE(1)

SOL 68-12-2 DMF, 108-30-5 Succinic anhydride

STAGE(2)

RCT Y 100-46-9

RGT AA 530-62-1 Diimidazolyl ketone

SOL 75-09-2 CH2Cl2

STAGE(3)

RGT R 76-05-1 F3CCO2H

SOL 7732-18-5 Water, 75-09-2 CH2Cl2

PRO Z 56439-40-8

AN 127:318531 CASREACT

TI A New and Efficient **Solid Phase Synthesis** of
Hydroxamic Acids

AU Ngu, Khehyong; Patel, Dinesh V.

CS Versicor Inc., Fremont, CA, 94555, USA

SO J. Org. Chem. (1997), 62(21), 7088-7089

Searched by John Dantzman

308-4488

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

AB A new method for the **solid phase synthesis**

(SPS) of hydroxamic acids proceeding through the intermediacy of N-tethered-O-protected alkoxyamine **resin** is described. The linker group, besides being an acid cleavable site for attachment of these

mols. on **solid support**, also serves as a suitable nitrogen protecting group for the hydroxamate functionality. The current methodol. is strategically well suited for combinatorial synthesis of diverse hydroxamic acid based metalloenzyme inhibitors, as exemplified by the first SPS of CGS 27023A, a recently described orally active matrix metallo protease (MMP) inhibitor.

=> d his

(FILE 'HOME' ENTERED AT 14:49:00 ON 03 NOV 1999) ✓

FILE 'HCAPLUS' ENTERED AT 14:49:19 ON 03 NOV 1999

L1	6 S SIEV D?/AU
L2	396 S SEMPLE ?/AU
L3	9 S WEINHOUSE M?/AU
L4	0 S L1 AND L2 AND L3
L5	408 S L1-L4
L6	5 S L5 AND RESIN

Inventor Search

=> d 1-5

L6 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:617615 HCAPLUS
TI Novel protocol for the solid-phase synthesis of peptidyl and
peptidomimetic P-argininal derivatives.
AU **Semple, J. Edward**; Gaudette, John A.; **Siev, Daniel V.**
CS Department of Medicinal Chemistry, Corvas International, Inc., San Diego,
CA, 92121, USA
SO Book of Abstracts, 218th ACS National Meeting, New Orleans, Aug. 22-26
(1999), MEDI-241 Publisher: American Chemical Society, Washington, D. C.
CODEN: 67ZJA5
DT Conference; Meeting Abstract
LA English

L6 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 1999 ACS
AN 1999:440760 HCAPLUS
DN 131:199967
TI Novel protocol for the solid-phase synthesis of peptidyl and
peptidomimetic P1-argininal derivatives
AU **Siev, Daniel V.**; Gaudette, John A.; **Semple, J. Edward**
CS Department of Medicinal Chemistry, Corvas International, Inc., San Diego,
CA, 92121, USA
SO Tetrahedron Lett. (1999), 40(28), 5123-5127
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 131:199967

L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:97083 HCAPLUS
DN 124:260932
TI Imidazole libraries on solid support
AU Sarshar, Sepehr; **Siev, Daniel**; Mjalli, Adnan M. M.
CS Ontogen Corp., Karlovy vary, CA, 92009, USA
SO Tetrahedron Lett. (1996), 37(6), 835-8
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English

L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:10631 HCAPLUS
DN 124:175550
TI Synthesis of NH-acyl-.alpha.-amino amides on Rink **resin**:
inhibitors of the hematopoietic protein tyrosine phosphatase (HePTP)
AU Cao, Xiaodong; Moran, Edmund J.; **Siev, Daniel**; Lio, Anna;
Ohashi, Cara; Majalli, Adnan M. M.
CS Ontogen Corp., Karlovy vary, CA, 92009, USA
SO Bioorg. Med. Chem. Lett. (1995), 5(24), 2953-8
CODEN: BMCLE8; ISSN: 0960-894X
DT Journal
LA English

L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 1999 ACS
Searched by John Dantzman 308-4488

Q8501.B57

AN 1987:167153 HCAPLUS
DN 106:167153
TI Wave absorption in piezoceramic-polymer composites
AU **Semple, A. E.**; Pilgrim, S. M.; Thompson, W., Jr.; Newnham, R. E.
CS Pennsylvania State Univ., University Park, PA, 16802, USA
SO Mater. Sci. Res. (1986), 20(Tailoring Multiphase Compos. Ceram.), 455-63
CODEN: MTSRAY; ISSN: 0076-5201
DT Journal
LA English

=> d bib abs hitstr 37

L23 ANSWER 37 OF 52 HCAPLUS COPYRIGHT 1999 ACS
 AN 1987:423709 HCAPLUS
 DN 107:23709
 TI Calcitonin related peptide derivatives
 IN Noda, Toshiharu; Fujii, Nobutaka; Morita, Kaoru; Hori, Masayuki
 PA Toyo Jozo Co., Ltd., Japan
 SO Eur. Pat. Appl., 26 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 212432	A2	19870304	EP 1986-110829	19860805
	EP 212432	A3	19890125		
	EP 212432	B1	19920506		
	R: DE, FR, GB, IT				
	JP 62129297	A2	19870611	JP 1986-173395	19860723
	US 4743677	A	19880510	US 1986-893267	19860805
	ES 2000602	A6	19880301	ES 1986-959	19860808
PRAI	JP 1985-175340		19850809		
GI					

CH₂-CH₂-Y-Y-CH₂
 |
 CO-A-Thr-Ala-Thr-NHCH-
 CO-Val-Thr-His-Arg-Leu-
 Ala-Gly-Leu-Leu-Ser-Arg-
 Ser-Gly-Gly-B-Val-Lys-
 C-Asn-Phe-Val-Pro-Thr-
 Asn-Val-Gly-Ser-Lys-Ala-
 Phe-NH₂

I

CH₂-(CH₂)₃-CH₂
 |
 CO-Asp(OBzl)-Thr(Bzl)-Ala-Thr(Bzl)-NHCHCOVal-OMe II

AB The title compds. (I; Y = S, CH₂; A = Asp, Asn; B = Val, Met; C = Asn, Ser) or their salts, useful as medicines or clin. diagnostic aids for bone metab. and the central nervous system (no data), are prepd. I (Y = CH₂, A = Asp, B = Val, C = Asn) was prepd. by solid-phase synthesis on a p-methylbenzhydrylamine resin via the cyclic peptide II (Bzl = benzyl).
 IT 98748-34-6P 98748-38-0P 98748-40-4P
 98748-41-5P 98748-42-6P 98748-43-7P

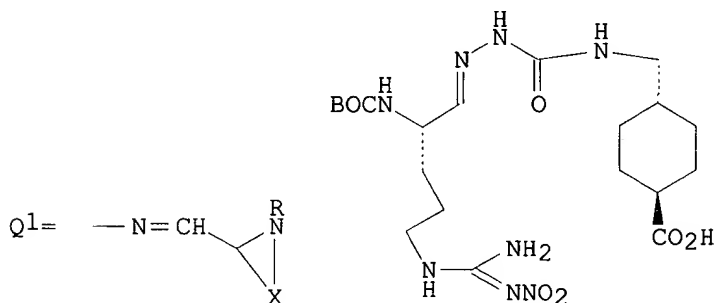
Searched by John Dantzman

308-4488

=> d bib abs hitstr 33

L23 ANSWER 33 OF 52 HCAPLUS COPYRIGHT 1999 ACS
 AN 1994:135141 HCAPLUS
 DN 120:135141
 TI Preparation of semicarbazone and semicarbazide amino acid aldehyde
 supports for automated synthesis of peptide analogs
 IN Webb, Thomas Roy
 PA Corvas International Inc., USA
 SO PCT Int. Appl., 65 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9312076	A1	19930624	WO 1991-US9388	19911213
	W: AU, CA, FI, JP, KR, NO				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
	AU 9213390	A1	19930719	AU 1992-13390	19911213
PRAI	WO 1991-US9388		19911213		
OS	MARPAT 120:135141				
GI					



AB HO2CACH2NHCONHZ [A = C2-15 hydrocarbylene; Z = NHR, N:CHCHR1NHR, Q1; R = protecting group; R1 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; X = (substituted) C3-12 alkylene], were prepd. Thus, trans-4-aminomethylcyclohexanecarboxylic acid was elaborated to semicarbazone deriv I in several steps. This was coupled to methylbenzhydrylamine resin using N-methylmorpholine/BOP reagent in DMF and the resulting SAAA (semicarbazone amino acid aldehyde) support was used to prep. BOC-D-Leu-Pro-Arg-H, BOC-D-Phe-Pro-Arg-H, etc.

IT 139976-34-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and redn. of, in **solid phase**
synthesis of peptide aldehydes)

RN 139976-34-4 HCAPLUS

CN Carbamic acid, [(1S)-4-[[imino(nitroamino)methyl]amino]-1-[(methoxymethylamino)carbonyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

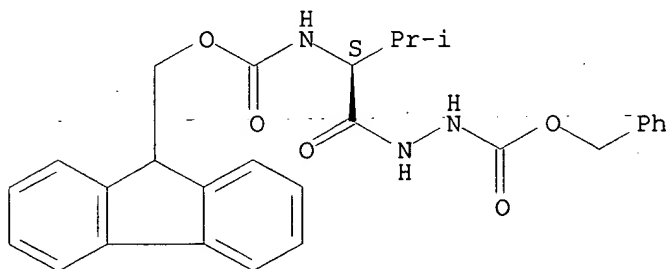
Searched by John Dantzman

308-4488

=> d bib abs hitstr 31

L23 ANSWER 31 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:218523 HCAPLUS
DN 120:218523
TI Synthesis of azapeptides by the Fmoc/tert-butyl/polyamide technique
AU Quibell, Martin; Turnell, William G.; Johnson, Tony
CS MRC Lab. Mol. Biol., Cambridge, CB2 2QH, UK
SO J. Chem. Soc., Perkin Trans. 1 (1993), (22), 2843-9
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
OS CASREACT 120:218523
AB A new synthesis of azapeptides for use in the study of a proteolytic enzyme assocd. with Alzheimer's disease is described. The method utilizes fluoren-9-ylmethoxycarbonyl (Fmoc) amino acid carbazates and hydrazides in the Fmoc/tert-butyl/polyamide technique. The prepn. of these compds. is presented. Reaction of Fmoc-amino acid hydrazides with an appropriate aldehyde, followed by redn., gave fully protected amino acid carbazate dipeptide synthons. These derivs. were used to prep. aza amino acid peptide analogs by reaction with a **resin**-bound amino group, activated with bis-2,4-dinitrophenyl carbonate in the presence of a base. With this activation of the amino group, hydantoins are formed in a major side reaction, but the cyclization could be virtually eliminated by omission of the base from the activation procedure. Upon final trifluoroacetic acid-mediated cleavage of the azapeptide, trifluoroacetylation of the N-terminal serine residue was obsd.
IT 154130-34-4P 154130-35-5P 154130-36-6P 154130-37-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(intermediate in prepn. of protected azadipeptide building block for **solid-phase peptide synthesis**)
RN 154130-34-4 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[2-[[[(9H-fluoren-9-ylmethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

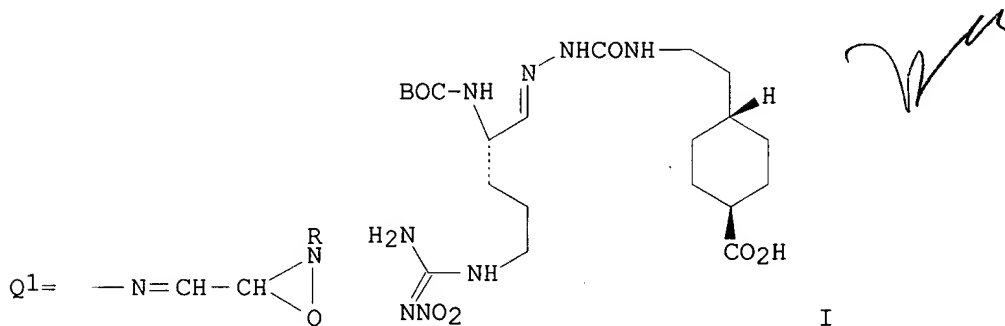


RN 154130-35-5 HCAPLUS
CN Hydrazinecarboxylic acid, 2-[6-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-
Searched by John Dantzman 308-4488

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=> d bib abs hitstr 30
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L23 ANSWER 30 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1994:580233 HCAPLUS
DN 121:180233
TI Reagents for automated synthesis of peptide aldehydes.
IN Webb, Thomas R.
PA Corvas, Inc., USA
SO U.S., 18 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2
```

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5283293	A	19940201	US 1990-627753	19901214
	US 5367072	A	19941122	US 1991-807474	19911213
PRAI	US 1990-627753	19901214			
OS	MARPAT 121:180233				
GI					



AB XCOANHCONHZ [A = hydrocarbyl; Z = NHR, N:CHCHR1NHR, Q1; R = protecting group; R1 = H, (substituted) alkyl, cycloalkyl, aryl, aralkyl; Q = (substituted) alkylene; X = NHSp, OSp, CH2Sp; Sp = insol. **resin** support], were prepd. Thus, nitroarginal semicarbazone deriv I was prepd.

and coupled to methylbenzhydrylamine **resin**; the **resin** was used in solid phase prepn. of BOC-D-Leu-Pro-Arginal, etc.

IT 71413-14-4P 139976-26-4P 139976-27-5P
139976-28-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for linker group for **solid phase peptide aldehyde synthesis**)

RN 71413-14-4 HCAPLUS

CN Carbamic acid, [(1S)-1-formyl-4-[[imino(nitroamino)methyl]amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME).

Absolute stereochemistry.

=> d bib abs hitstr 28

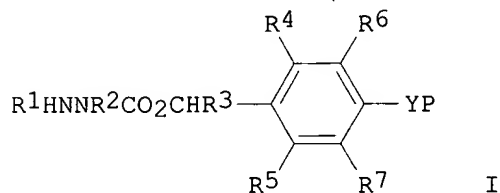
L23 ANSWER 28 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1995:984982 HCAPLUS
DN 124:176894
TI Solid-phase synthesis of a fucosylated glycopeptide of human factor IX
with a fucose-.alpha.-(1.fwdarw.O)-serine linkage
AU Peters, Stefan; Lowary, Todd I.; Hindsgaul, Ole; Meldal, Morten; Bock,
Klaus
CS Department Chemistry, Carlsberg Laboratory, Copenhagen Valby, DK-2500,
Den.
SO J. Chem. Soc., Perkin Trans. 1 (1995), (23), 3017-22
CODEN: JCPRB4; ISSN: 0300-922X
DT Journal
LA English
AB The chem. synthesis of protected glycopeptide
Ac-Pro-Cys(Acm)-Leu-Asn-Gly-
Gly-Ser(Ac3-.alpha.-L-Fuc)-Cys(Acm)-Lys-Asp-Asp-NH2 (I; Acm =
acetamidomethyl), with L-fucose directly linked to the hydroxy group of
L-serine is reported. Two building blocks contg. a protected and an
unprotected fucose residue .alpha.-glycosidically linked to Fmoc-Ser-OH
(Fmoc = 9-fluorenylmethoxycarbonyl) were prepd. and used in the synthesis
of I. Both building blocks were completely compatible with the std.
Fmoc-based solid-phase peptide synthesis protocol and furthermore that OH
protection of the carbohydrate is necessary only during the final acid
treatment for cleavage of the glycopeptide from the **resin**.
IT **173777-50-9P**
RL: BYP (Byproduct); PREP (Preparation)
(**solid-phase synthesis** of a fucosylated
glycopeptide of human factor IX with a fucose-serine linkage)
RN 173777-50-9 HCAPLUS
CN L-.alpha.-Asparagine,
N2-[N-[N2-[S-[(acetylamino)methyl]-N-[N-[N-[N-[N-
[S-[(acetylamino)methyl]-N-(1-acetyl-L-prolyl)-L-cysteinyl]-L-leucyl]-L-
.alpha.-aspartyl]glycyl]glycyl]-O-(6-deoxy-.alpha.-L-galactopyranosyl)-L-
seryl]-L-cysteinyl]-L-lysyl]-L-.alpha.-aspartyl]-, 4'''-hydrazide (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

=> d bib abs hitstr 26

L23 ANSWER 26 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:190883 HCAPLUS
DN 124:233161
TI Preparation of **resin** supports for use in solid phase synthesis
of peptide hydrazides.
IN Coughlin, Daniel J.
PA Cytogen Corp., USA
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9534314	A1	19951221	WO 1995-US7462	19950613
	W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UZ, VN			
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9529021	A1	19960105	AU 1995-29021	19950613
PRAI	US 1994-259775		19940614		
	WO 1995-US7462		19950613		
OS	MARPAT 124:233161				
GI					



AB Title compds. (I; P = solid phase polymer support; Y = Ph, alkyl, aryl, akoxo, aryloxy, alkylamino, arylamino, alkylthio, arylthio; R¹, R² = H, alkyl; R³ = H, alkyl, aryl, nitroaryl; R⁴ = OR⁸, NMe₂; R⁸ = alkyl; R⁵-R⁷

= H, OMe, NMe₂, alkyl, aryl), were prepd. Thus, sasrin was derivatized with

Ph chloroformate and hydrazine and the resulting hydrazide sasrin **resin** was used to prep. branched and linear peptide hydrazides.

IT 174800-73-8DP, sasrin **resin**-bound 174800-74-9DP
, sasrin **resin**-bound 174800-75-ODP, sasrin
resin-bound 174800-76-1DP, sasrin **resin**-bound
174800-77-2DP, sasrin **resin**-bound 174800-82-9DP
, **resin**-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of **resin** supports for use in **solid**

Searched by John Dantzman 308-4488

=> d bib abs hitstr 25

L23 ANSWER 25 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:237461 HCAPLUS
DN 124:290274
TI Solid phase synthesis of diketopiperazines (cyclodipeptides).
IN Campbell, David; Gallop, Mark A.; Gordon, Eric M.; Look, Gary C.; Patel,
Dinesh; Szardenings, Anna Katrin
PA Affymax Technologies N.V., Neth.
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 9600391	A1	19960104	WO 1995-US7964	19950623
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	WO 9535278	A1	19951228	WO 1995-US7878	19950622
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

	AU 9528711	A1	19960119	AU 1995-28711	19950623
PRAI	US 1994-265578		19940623		
	US 1995-393318		19950222		
	WO 1995-US7878		19950622		
	US 1994-264136		19940622		
	US 1994-354309		19941212		
	WO 1995-US7964		19950623		

AB A library of diverse diketopiperazines comprising a plurality of solid supports having a plurality of surface-bound diketopiperazines, wherein the diketopiperazines bound to each of the solid supports are substantially homogeneous and have a compn. substantially different from diketopiperazines bound to selected other supports, are claimed. Thus, TentaGel S **resin** functionalized with Knorr linker was coupled with Fmoc-Glu(OMe)-OH using BOP/DIEA in DMF followed by deprotection, coupling with Fmoc-Gly, and deprotection. Heating the **resin**-bound dipeptide in MeOH/Et3N gave **resin**-bound diketopiperazine product, which was treated with TFA/H2O to give 61% cyclo(Gln-Gly).

IT 175452-67-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of
diketopiperazines)

RN 175452-67-2 HCAPLUS

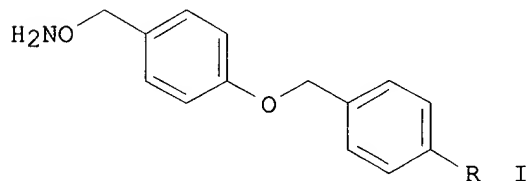
CN 2-Piperazinepropanamide, N-hydroxy-1-(3-methylbutyl)-3,6-dioxo-5-

Searched by John Dantzman 308-4488

=> d bib abs hitstr 23

L23 ANSWER 23 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:632144 HCAPLUS
DN 125:276589
TI Synthesis of hydroxamic acid derivatives using solid supports
functionalized with (protected) hydroxylamine.
IN Floyd, Christopher David; Lewis, Christopher Norman
PA British Biotech Pharmaceuticals Limited, UK
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9626223	A1	19960829	WO 1996-GB428	19960226
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 811019	A1	19971210	EP 1996-903152	19960226
	EP 811019	B1	19990407		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 11500620	T2	19990119	JP 1996-525514	19960226
	AT 178618	E	19990415	AT 1996-903152	19960226
	US 5932695	A	19990803	US 1997-809499	19970324
PRAI	GB 1995-3749	19950224			
	WO 1996-GB428	19960226			
GI					



AB Solid phase reaction components substantially insol. in aq. or org.
reaction media of the formulas P1NHOR or RHNOP2 (P1, P2 = H, protecting
group; R = solid substrate) wherein the bond to the substrate is
cleavable
under acid conditions or by photolysis, are claimed. Such components are
useful in the solid phase synthesis of, for example, compds. which are
matrix metalloproteinase inhibitors. Thus, supported hydroxylamine I; R
=
copoly(styrene-1% divinylbenzene), prepd. from Wang resin by
treatment with N-hydroxyphthalimide/Ph3P/DEAD followed by hydrazinolysis,
was used in solid phase synthesis of Z-Pro-Leu-Ala-NHOH.
IT 174857-80-8P 174857-88-6P 182297-48-9P
182297-49-0P 182297-50-3P 182297-51-4P
182297-52-5P 182297-53-6P 182297-54-7P
182297-55-8P 182297-56-9P 182297-57-0P

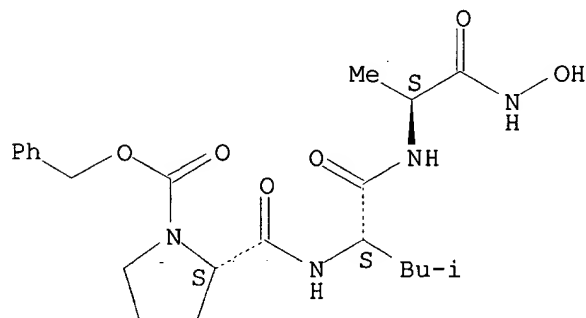
Searched by John Dantzman

308-4488

=> d bib abs hitstr 21

L23 ANSWER 21 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1996:668769 HCAPLUS
DN 126:31626
TI A method for the synthesis of hydroxamic acids on solid phase
AU Floyd, Christopher D.; Lewis, Christopher N.; Patel, Sanjay R.;
Whittaker,
Mark
CS British Biotech Pharm. Ltd., Oxford, OX4 5LY, UK
SO Tetrahedron Lett. (1996), 37(44), 8045-8048
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier
DT Journal
LA English
AB Wang **resin** was modified using a Mitsunobu reaction to give
resin bound O-hydroxylamine. This **resin** was acylated
and the adduct cleaved from the **resin** by TFA to afford
hydroxamic acids. A series of tripeptides and sulfonamido hydroxamic
acids which act as inhibitors of metalloproteinases have been prepd.
Resins more sensitive to acid cleavage can also be modified to
simplify the work-up procedure.
IT 123984-00-9P 184775-22-2P 184775-23-3P
184775-24-4P 184775-25-5P 184775-26-6P
184775-27-7P 184775-28-8P 184775-29-9P
184775-30-2P 184775-31-3P 184775-32-4P
184775-33-5P 184775-34-6P 184775-35-7P
184775-36-8P 184775-37-9P 184775-38-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of peptidyl
hydroxamic acids)
RN 123984-00-9 HCAPLUS
CN L-Alaninamide, 1-[(phenylmethoxy)carbonyl]-L-prolyl-L-leucyl-N-hydroxy-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



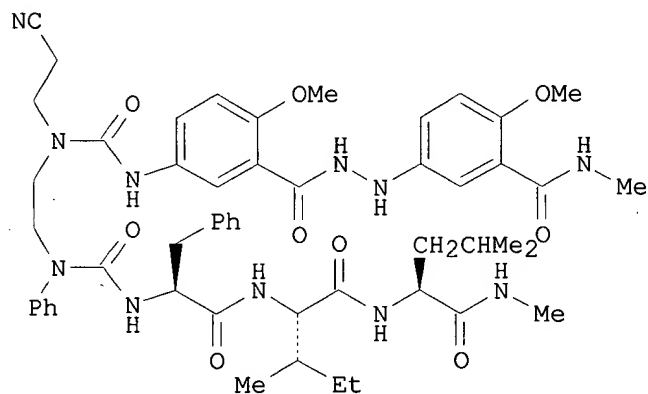
RN 184775-22-2 HCAPLUS
CN L-Norleucinamide,
1-[(phenylmethoxy)carbonyl]-L-prolyl-(.alpha.S)-.alpha.-
aminobenzenebutanoyl-N-hydroxy- (9CI) (CA INDEX NAME)

Searched by John Dantzman

308-4488

=> d bib abs hitstr 18

L23 ANSWER 18 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:528751 HCAPLUS
DN 127:176699
TI Solid-Phase Synthesis of Artificial .beta.-Sheets
AU Holmes, Darren L.; Smith, Eric M.; Nowick, James S.
CS Department of Chemistry, University of California, Irvine, CA,
92697-2025,
USA
SO J. Am. Chem. Soc. (1997), 119(33), 7665-7669
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
GI



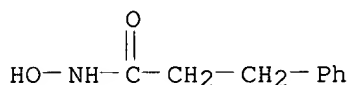
AB The solid-phase syntheses of artificial .beta.-sheets, e.g. I, which
mimic the structure and hydrogen-bonding patterns of protein .beta.-sheets is
described. In these compds., mol. templates induce .beta.-sheet
structures in attached peptide strands. The templates consist of di- and
triurea derivs., which hold peptide and peptidomimetic strands in
proximity, and .beta.-strand mimics, which hydrogen bond to the peptide
strands. The syntheses involve constructing the "lower" peptide strand
on Merrifield resin, attaching the di- or triamine portions of the
di- or triurea templates, connecting the "upper" peptide and
peptidomimetic strands, and cleaving the resulting artificial
.beta.-sheets from the resin. The artificial .beta.-sheets were
prepd. in 8-13 steps from leucine Merrifield in 33-67% overall yield.
IT 3619-17-8P, Isobutyric hydrazide 194025-94-0P
194025-95-1P 194025-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of artificial

Searched by John Dantzman

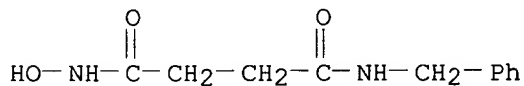
308-4488

=> d bib abs hitstr 17

L23 ANSWER 17 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1997:684665 HCAPLUS
DN 127:318531
TI A New and Efficient Solid Phase Synthesis of Hydroxamic Acids
AU Ngu, Khehyong; Patel, Dinesh V.
CS Versicor Inc., Fremont, CA, 94555, USA
SO J. Org. Chem. (1997), 62(21), 7088-7089
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:318531
AB A new method for the solid phase synthesis (SPS) of hydroxamic acids proceeding through the intermediacy of N-tethered-O-protected alkoxyamine **resin** is described. The linker group, besides being an acid cleavable site for attachment of these mols. on solid support, also serves as a suitable nitrogen protecting group for the hydroxamate functionality.
The current methodol. is strategically well suited for combinatorial synthesis of diverse hydroxamic acid based metalloenzyme inhibitors, as exemplified by the first SPS of CGS 27023A, a recently described orally active matrix metallo protease (MMP) inhibitor.
IT 17698-11-2P 56439-40-8P 192570-31-3P 197304-28-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid phase synthesis of hydroxamic acids)
RN 17698-11-2 HCAPLUS
CN Benzenepropanamide, N-hydroxy- (9CI) (CA INDEX NAME)



RN 56439-40-8 HCAPLUS
CN Butanediamide, N-hydroxy-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)

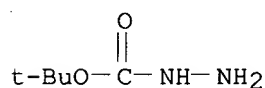


RN 192570-31-3 HCAPLUS
CN Butanamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl] (3-pyridinylmethyl)amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

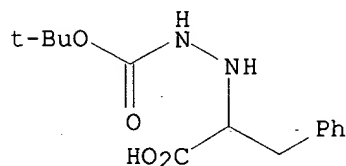
Absolute stereochemistry.

=> d bib abs hitstr 13

L23 ANSWER 13 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:426680 HCAPLUS
DN 129:161529
TI Solid phase synthesis of 1-aminohydantoin libraries
AU Wilson, Lawrence J.; Li, Min; Portlock, David E.
CS Procter and Gamble Pharmaceuticals, Health Care Research Center, Mason,
OH, 45040, USA
SO Tetrahedron Lett. (1998), 39(29), 5135-5138
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
AB The solid support synthesis of a series of 1-aminohydantoins based on a
diverse set of hydrazino amino acids, aldehydes, and amines is described.
The method involves the construction of **resin** attached hydrazino
acid precursors, followed by subsequent derivatization, and then
cyclizative cleavage off the **resin**. Overall yields vary per
example between 15 and 60%, and the samples are suitable for biol.
evaluations without further purifn.
IT 870-46-2, tert-Butoxycarbonylhydrazine 14381-08-9
54600-94-1 211107-24-3 211107-25-4
211107-29-8
RL: RCT (Reactant)
(solid phase synthesis of
1-aminohydantoin libraries)
RN 870-46-2 HCAPLUS
CN Hydrazinecarboxylic acid, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 14381-08-9 HCAPLUS
CN Hydrazinecarboxylic acid, 2-(1-carboxy-2-phenylethyl)-,
1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

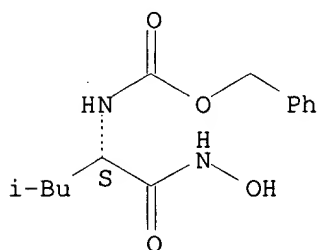


RN 54600-94-1 HCAPLUS
CN Hydrazinecarboxylic acid, 2-(1-carboxyethyl)-, 1-(1,1-dimethylethyl)
ester
(9CI) (CA INDEX NAME)

=> d bib abs hitstr 12

L23 ANSWER 12 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:459963 HCAPLUS
DN 129:161827
TI Solid-phase synthesis of hydroxamic acids
AU Dankwardt, Sharon M.
CS Inflammatory Disease Unit, Parallel Synthesis Group, Roche Bioscience,
Palo Alto, CA, 94304, USA
SO Synlett (1998), (7), 761
CODEN: SYNLES; ISSN: 0936-5214
PB Georg Thieme Verlag
DT Journal
LA English
AB The solid-phase synthesis of amino hydroxamic acids is presented.
Carboxy-linked, polymer-supported N-carbobenzoxy-protected amino acids
were displaced from the resin with aq. NH₂OH to provide the
corresponding hydroxamic acids.
IT 66179-55-3P 73048-81-4P 76960-28-6P
88144-07-4P 107145-27-7P 160056-97-3P
211232-25-6P 211232-26-7P 211232-27-8P
211232-28-9P 211232-29-0P 211232-30-3P
211232-31-4P 211232-32-5P 211232-33-6P
211232-34-7P 211232-35-8P 211232-36-9P
211232-37-0P 211232-38-1P 211232-39-2P
211232-40-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of amino
hydroxamic acids)
RN 66179-55-3 HCAPLUS
CN Carbamic acid, [(1S)-1-[(hydroxyamino)carbonyl]-3-methylbutyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 73048-81-4 HCAPLUS
CN Carbamic acid, [(1S)-2-(hydroxyamino)-2-oxo-1-(phenylmethyl)ethyl]-,
phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d bib abs hitstr 11

L23 ANSWER 11 OF 52 HCAPLUS COPYRIGHT 1999 ACS
AN 1998:485029 HCAPLUS
DN 129:122459
TI Solid phase synthesis of aldehydes, ketones, oximes, amines and
hydroxamic
acids

IN Salvino, Joseph M.; Morton, George C.; Mason, Helen J.; Labaudiniere,
Richard F.

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9829376	A1	19980709	WO 1997-US23920	19971217
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	AU 9857199	A1	19980731	AU 1998-57199	19971217
	EP 946478	A1	19991006	EP 1997-953458	19971217
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO			
	ZA 9711453	A	19980914	ZA 1997-11453	19971219
	WO 9931491	A1	19990624	WO 1998-US26512	19981214
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
	AU 9925569	A1	19990705	AU 1999-25569	19981214
	NO 9902896	A	19990813	NO 1999-2896	19990614
PRAI	US 1996-32453		19961219		
	US 1996-33881		19961224		
	US 1996-PV32453		19961219		
	US 1996-PV33881		19961224		
	WO 1997-US23920		19971217		
	US 1998-90558		19980624		
	US 1998-90563		19980624		
	US 1998-PV90558		19980624		
	US 1998-PV90563		19980624		
	WO 1998-US26512		19981214		
OS	CASREACT 129:122459				
AB	Title compds., e.g. R1COR2 (R1, R2 = aryl, alipharyl), were prep'd. by Searched by John Dantzman 308-4488				